

Trying 3106016892...Open

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1613SXW

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page UPLs for STN Seminar Schedule - N. America  
 NEWS 2 Dec 17 The CA Lexicon available in the CAPLUS and CA files  
 NEWS 3 Feb 16 Engineering Information Encompass files have new names  
 NEWS 4 Feb 16 TOXLINE no longer being updated  
 NEWS 5 Apr 23 Search Derwent WPINDEX by chemical structure  
 NEWS 6 Apr 23 PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA  
 NEWS 7 May 07 DGENE Reload  
 NEWS 8 Jun 20 Published patent applications (A1) are now in USPATFULL  
 NEWS 9 JUL 13 New SDI alert frequency now available in Derwent's  
 DWPI and DPCI  
 NEWS 10 Aug 23 In-process records and more frequent updates now in  
 MEDLINE  
 NEWS 11 Aug 23 PAGE IMAGES FOR 1947-1966 RECORDS IN CAPLUS AND CA  
 NEWS 12 Aug 23 Adis Newsletters (ADISNEWS) now available on STN  
 NEWS 13 Sep 17 IMSworld Pharmaceutical Company Directory name change  
 to PHARMASEARCH  
 NEWS 14 Oct 09 Korean abstracts now included in Derwent World Patents  
 Index  
 NEWS 15 Oct 09 Number of Derwent World Patents Index updates increased  
 NEWS 16 Oct 15 Calculated properties now in the REGISTRY/ZREGISTRY File  
 NEWS 17 Oct 22 Over 1 million reactions added to CASREACT  
 NEWS 18 Oct 22 DGENE GETSIM has been improved  
 NEWS 19 Oct 29 AAASD no longer available  
 NEWS 20 Nov 19 New Search Capabilities USPATFULL and USPAT2  
 NEWS 21 Nov 19 TOXCENTER(SM) - new toxicology file now available on STN  
  
 NEWS EXPRESS August 15 CURRENT WINDOWS VERSION IS V6.0c,  
 CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP),  
 AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001  
 NEWS HOURS STN Operating Hours Plus Help Desk Availability  
 NEWS INTER General Internet Information  
 NEWS LOGIN Welcome Banner and News Items  
 NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
 NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that  
 specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 16:48:53 ON 25 NOV 2001

=> fil reg

CCST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.15

0.15

FILE 'REGISTRY' ENTERED AT 16:48:58 ON 25 NOV 2001

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STRUCTURE FILE UPDATES: 23 NOV 2001 HIGHEST RN 371752-43-1

DICTIONARY FILE UPDATES: 23 NOV 2001 HIGHEST RN 371752-43-1

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES  
for more information. See STNote 27, Searching Properties in the CAS  
Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 09737687.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

O

N-

N-

N

N

N

Structure attributes must be viewed using STN Express query preparation.

=> s ll sss sam

SAMPLE SEARCH INITIATED 16:49:26 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 457 TC ITERATE

100.0% PROCESSED 457 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 7858 TC 10422  
PROJECTED ANSWERS: 0 TC 0

L2 0 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 16:49:31 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 9621 TO ITERATE

100.0% PROCESSED 9621 ITERATIONS 3 ANSWERS  
SEARCH TIME: 00.00.01

L3 3 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	133.56	133.71

FILE 'CAPLUS' ENTERED AT 16:49:39 ON 25 NOV 2001  
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FILE COVERS 1947 - 25 Nov 2001 VOL 135 ISS 23  
FILE LAST UPDATED: 23 Nov 2001 (20011123/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

Caplus now provides online access to patents and literature covered in CA from 1947 to the present. On April 22, 2001, bibliographic information and abstracts were added for over 2.2 million references published in CA from 1947 to 1966.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

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=> s 13 full

L4 1 L3

=> d 14 ikib aks hitstr

ACCESSION NUMBER:

2001:453001 CAPLUS

DOCUMENT NUMBER:

135:46002

TITLE:

Synthesis and use of amidino/guanidino-arylamino  
salicylamides as serine protease inhibitors for  
treatment of cancer related disorders

INVENTOR(S):

Allen, Darin Arthur; McGee, Danny Peter Claude;  
Spencer, Jeffrey R.

PATENT ASSIGNEE(S):

Axys Pharmaceuticals, Inc., USA

SOURCE:

PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044172	A1	20010621	WO 2000-US34211	20001214
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DO, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OL, OM, OS, PA, PE, PG, PH, PI, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p> <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG</p>				

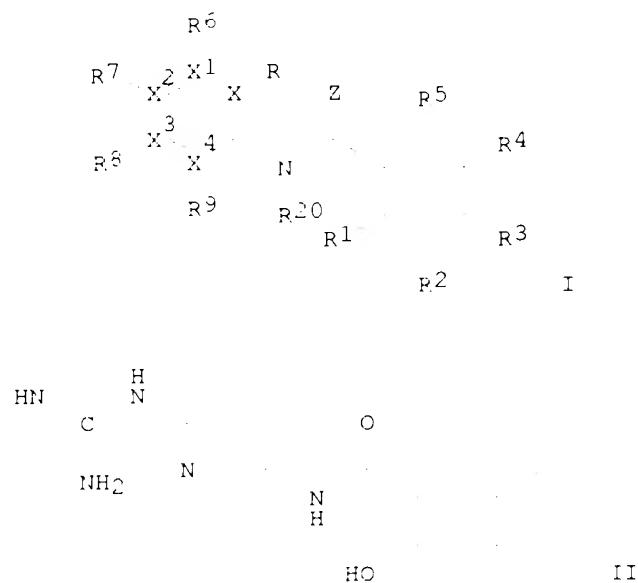
PRIORITY APPLN. INFO.:

US 1999-170916 P 19991215

OTHER SOURCE(S):

MARPAT 135:46002

GI



AB Comps. I and a process for their synthesis are claimed [wherein; R1 =

OH,

CH<sub>2</sub>H, ester, CH<sub>2</sub>OH, OCH<sub>2</sub>CH<sub>3</sub>, sulfonate ester or OP(O)(OH)<sub>2</sub> or esters thereof; R2-5 = H, SH, O-, halo, ester, amide, (substituted)aryl, heterocyclyl, etc.; R, R6, R9 = H, halo, CN, (halo)alkyl, NO<sub>2</sub>, O-aryl/alkyl or R, R6 taken together form (un)satd. (un)substituted C4;

R7, R8 = OH, CF3, H, CD2H, NO2, (C)alkyl/aryl, halo, cyano,  
(substituted)guanidino/amidino, imidazolin-2-yl, N-  
amidino(morpholine/piperidine), etc.; X includes C; X1-4 = C or N; R20 =

H

or OH; Z = O, S, CH2, N-, H2C12H, H2CH2CH2, etc.; with the proviso that  
at least 2 of X1-4 = C and when any of X1-4 = N the corresponding  
substituent does not exist]. Data for over 40 synthetic examples is  
provided. The process claimed involves a selective acylation of an amino  
group and is exemplified by the synthesis of II. 3-Acetoxy-2-  
chlorocarbonylnaphthalene was prepd. from the corresponding carboxylic  
acid and coupled, in the presence of N,N-dimethylacetamide (or other  
selected acetamides), to N-(5-aminopyridin-2-yl)guanidine hydrochloride

to

give the acetoxy deriv. of II. The acetoxy deriv. was treated with 1M

HCl

for 2 h to provide II, isolated as the HCl salt. Compds. of the  
invention

are inhibitors of serine proteases, urokinase (uPA), factor Xa (FXa)  
and/or factor VIIa (FVIIa). Guanidine II had  $K_i = 0.326 \mu\text{M}$  for  
urokinase and  $K_i = 130 \mu\text{M}$  for FXa. Compds. I are anticancer agents  
and/or anticoagulants and also used for the treatment or prevention of  
thromboembolic disorders in mammals.

IT **345237-02-7P 345237-31-2P 345237-32-3P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(drug candidate; synthesis and use of amidino/guanidino-arylamino  
salicylamides as serine protease inhibitors)

RN 345237-02-7 CAPLUS

CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-  
hydroxy-, hydrochloride (10:13) (9CI) (CA INDEX NAME)

O

C NH N NH

OH NH C-NH2

●13/10 HCl

RN 345237-31-2 CAPLUS

CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-  
hydroxy- (9CI) (CA INDEX NAME)

O

C NH N NH

OH NH C-NH2

RN 345237-32-3 CAPLUS

CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-  
hydroxy-7-methoxy- (9CI) (CA INDEX NAME)

MeC

C

C NH

N

NH

OH

NH C NH<sub>2</sub>

REFERENCE COUNT:

6

REFERENCE(S):

- (1) Abbott Lab; WO 9905096 A 1999 CAPLUS
  - (3) Lilly Co Eli; EP 0635492 A 1995 CAPLUS
  - (4) Nagahara, T; US 5576343 A 1996 CAPLUS
  - (5) Ono Parmaceuticals Co Ltd; WO 9941231 A 1999  
CAPLUS
  - (6) Ono Pharmaceutical Co; EP 0703216 A 1996 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

4.84

138.55

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-0.59

-0.59

STN INTERNATIONAL LOGOFF AT 16:50:37 ON 25 NOV 2001